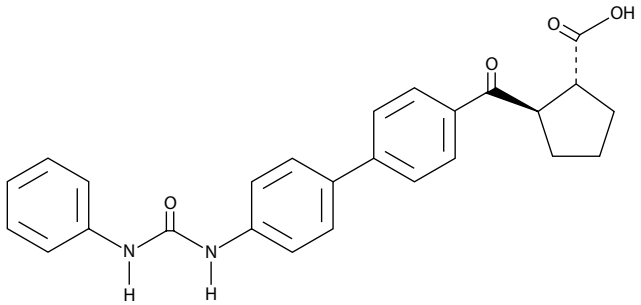
Product Information

A-922500

Item No. 10012708

CAS Registry No.: 959122-11-3
Formal Name: 2R-[[4'-[[(phenylamino) carbonyl]amino][1R,1'-biphenyl]-4-yl-carbonyl]-cyclopentanecarboxylic acid
MF: $C_{26}H_{24}N_2O_4$
FW: 428.5
Purity: ≥95%
Stability: ≥1 year at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that A-922500 be stored as supplied at -20°C. It should be stable for at least one year.

A-922500 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-922500 in the solvent of choice. A-922500 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of A-922500 in ethanol is approximately 0.2 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. A-922500 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-922500 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. A-922500 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Diacylglycerol acyltransferases (DGATs) catalyze the final step in triglyceride synthesis. DGAT-1 deficient mice demonstrate a phenotype that is protective against the development of diet-induced obesity (DIO) or insulin resistance, implicating the actions of this enzyme in the development of such metabolic disorders. A-922500 is a potent orally active inhibitor of DGAT-1 activity, inhibiting both human and mouse forms of the enzymes with IC_{50} values of 7 and 24 nM, respectively.[1] When administered at 3 mg/kg to DIO mice, A-922500 conferred significant weight loss within seven days without affecting food intake and significantly reduced plasma and liver triglycerides with chronic dosing.[1] A-922500 does not inhibit DGAT-2, ACAT-1, or ACAT-2.[1]

Reference

1. Zhao, G., Souers, A.J., Voorbach, M., *et al.* Validation of diacyl glycerolacyltransferase I as a novel target for the treatment of obesity and dyslipidemia using a potent and selective small molecule inhibitor. *J. Med. Chem.* **51**, 380-383 (2008).

Related Products

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